

1	2	3	4	5	6	7	8	9	10
Y/F	X	L/M	L/M/A/I	X	G/A	X	Hydrophobic	P	F/Y

Figure 1

1	2	3	4	5	6	7	8	9	10
Y	E	M	L/M/A	X	G	X	P	P	F
11	12	13	14	15	16	17	18	19	20
X	A/G	D/E/Q	D/E/Q/N	P/E	D/E/I	D/E/Q	I/L	Y/F	Q/E

Figure 2

SERINE\THREONINE KINASES

	1	2	3	4	5	6	7	8	9	10	11	12	13	14	15	16	17	18	19	20
RAF	Y F W	E Q E* N D D*	L I M V I	M V L I	T A S	G A	E Q D E* D*	L I M V	P	Y F W	S A T	H N D Q E E*	I L M V	N D* D Q E E*	N D* D Q E E*	R X	D N D* Q E E*	Q E E* N D*	I L M V	I L M V
CAPK	Y F W	E Q E* D D* N	M V L I	A G	A V M L I	G A	Y F W	P	P Y W	F Y W	A G	D N D* Q E E*	Q E E* N D*	P	I L M V	Q E E* N D*	I L M V	Y F W	E Q E* N D D*	
PKC	Y F W	E Q E* D D* N	M V L I	L I V	A I C L M V	G A	Q H E E*	P A S	P Y W	D E H Q N D* E*	G A	E D Q N E* D*	D N Q D* E E*	E Q E* N D*	D E Q N D* E*	D E Q N D* E*	E D Q N D* E*	L I M V	F Y W	Q E H E*
βARK1.2	F Y W	K O	L I M V	I L M V	R X	G A	H T	S T	P Y W	R X	Q E D N E* D*	H	K O	T S	K O	D N D* Q E E*	K O	H	E Q N D D* E*	
CaMK	Y F W	I L M V	L I M V	L I M V	V L M C I	G A	Y F W	P	P Y W	W Y F	D N D* Q E E*	E Q N D* E D* E*	D N Q D* E D	Q E D* E* D	H	R K X O	L I M V	Y F W	Q E E* D D* N	

Figure 3A

	1	2	3	4	5	6	7	8	9	10	11	12	13	14	15	16	17	18	19	20
POLO	Y F W	T S	L M I V	L I M V	V L I M	G A	K R O X	P	P	F Y W	E D Q N E* D*	T S	S T	C T S	L V I M	K O	E D N Q E* D*	T S	Y F W	L I V M
Akt/ PKB	Y F W	E E* D	M L I V	M L I V	C S T	G A	R X	L M I V	P	F W Y	Y W F	N Q	Q N	D D* E E*	H K O	E E* D D*	R X O	L M I V	F Y W	E E* D D*
GRK1	Y W F	E E* D	M I L V	I M L V	A G	A G	R X	G A	P	F W	R X Y	A G	R X	G A	E E* D D*	K O D D*	V M H L	E E* I L	N Q D D*	K O H
GRK4	Y F W	E E* D	M I L V	I L M V	Q N	G A	H K O	S T	P	F W Y	K O H	K O H	Y F W	K O H	E E* D D*	K O H L	V M I L	K O H	W F Y	E E* D D*
GRK5	Y F W	E E* D	M I L V	I L M D	E E* D	G A	Q N	S T	P	F W Y	R X	G A	R X	K O H	E E* D D*	K O H L	V M I L	K O H	R X	E E* D D*
GRK6	Y F W	E E* D	M I L V	I L M V	A G	G A	Q N	S T	P	F W	Q N Y	Q N	R X	K O	K O H	K O H	I M H	K O V L	R X H	E E* D D*
GSK3	A G	E E* D	L I M V	L I M V	L I M V	G A	Q N	P	I L M V	F Y W	P	G A	D D*	S T E E*	G A	V L I M	D D* E E*	Q N	L I M V	V L I M

D\* = a substituted or unsubstituted aliphatic, benzylic or aromatic ester of aspartic acid  
 E\* = a substituted or unsubstituted aliphatic, benzylic or aromatic ester of glutamic acid  
 X = N-nitroarginine,  $\beta$ -cycloarginine,  $\gamma$ -hydroxyarginine, amidinocitroline or 2-amino-4-guanidinobutanoic acid  
 O = Ornithine

Figure 3B

RAF

HJ38	Ac-	V	M	T	G	Q'	L	P	F	-NH <sub>2</sub>
J41	Ac-	V	M	T	G	E!	L	P	F	-NH <sub>2</sub>

POLO

J42	Ac-	M	L	L	G	R	P	P	F	E!	-NH <sub>2</sub>
J43	Ac-	M	L	L	G	K	P	P	F	NH <sub>2</sub>	
J43.1	Ac-	M	L	L	G	K	P	P	F	E!	-NH <sub>2</sub>
J45			Ac-	L	G	R	P	P	F	E!	T S -NH <sub>2</sub>
J46	Ac-	M	L	L	G	R	P	P	F	E!	T S -NH <sub>2</sub>

AkT/PKB

J47			Ac-		G	R	L	P	F	F	N -NH <sub>2</sub>
J48	Ac-	E!	M	M	S	G	R	L	P	F	N -NH <sub>2</sub>

GSK3

J29	Ac-	L	L	L	G	Q	P	I	F	P	G -NH <sub>2</sub>
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E! - Benzyl Ester of Glutamic Acid

Figure 4

Collagen production in fetal lung fibroblasts  
in the presence of increasing concentrations of  
K048H101

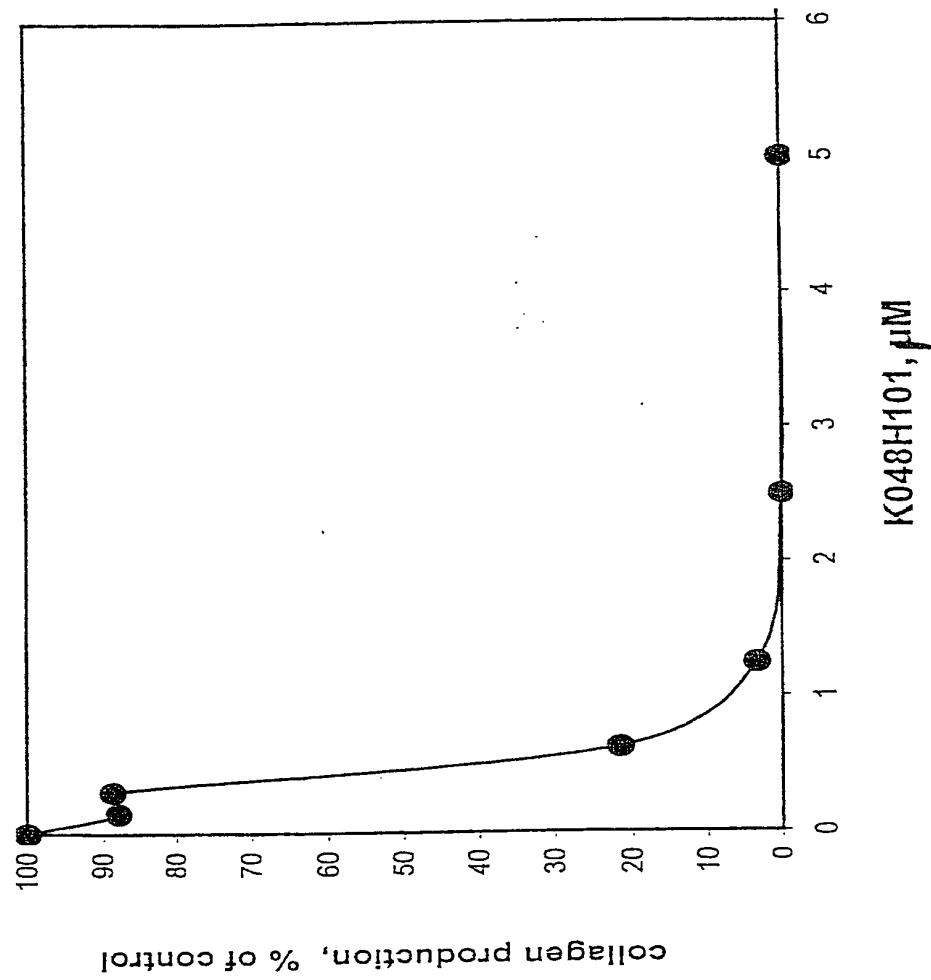


Figure 5

Activin/TGFbR  
ACTRII

Peptide N_terminal		C_terminal	
K095H101	Myristyl - G	G P V D E Y M L P F	NH2

ALK1

Peptide N_terminal		C_terminal	
K048H101	Myristyl - G	G I V E D Y R P P F	NH2
K048H901	Stearyl - G	G I V E D Y R P P F	NH2

ALK3

Peptide N_terminal		C_terminal	
K098H101	Myristyl - G	G I V E E Y Q L P Y	NH2
K098H901	Stearyl - G	G I V E E Y Q L P Y	NH2

ALK4

Peptide N_terminal		C_terminal	
K099H101	Myristyl - G	G Q V H E E Y Q L P Y	NH2

TGFbRII

Peptide N_terminal		C_terminal	
K093H101	Myristyl - G	G E V K D Y E P P F	NH2

Akt/PKB  
Akt1/Raca

Peptide N_terminal		C_terminal	
K014H101	Myristyl - G	M M S G R L P	NH2
K014H010	(Free NH2)	M C G R L P	NH2
K014H111	Myristyl - G	M M C G R L P	NH2

CAPK  
cAPKa

Peptide N_terminal		C_terminal	
K004H001	Acetyl	M A A G Y P	NH2
K004H002	Acetyl	M A A G Y P P F F	NH2

CDK

Figure 6A

CDK2

Peptide N_terminal	C_terminal
K049H101 Myristyl - G M V T R R A L F	NH2

CDK4

Peptide N_terminal	C_terminal
K050H101 Myristyl - G M F R R K P L F	NH2

CHK  
Chk1

Peptide N_terminal	C_terminal
K088H001 Acetyl M L A G E ! L P W D !	NH2
K088H101 Myristyl -G M L A G E L P	NH2
K088H103 Myristyl - G M L A G E L	NH2
K088H104 Myristyl - G M L A G E L P W D	NH2

DAPK  
DAPK

Peptide N_terminal	C_terminal
K092H001 Acetyl I L L S G A S P F L G	NH2

GRK  
bARK1

Peptide N_terminal	C_terminal
K024H101 Myristyl - G L L R G H S	NH2

GSK3  
GSK3b

Peptide N_terminal	C_terminal
K018H101 Myristyl - G L L L G Q P I	NH2

IAK  
Iak1

Peptide N_terminal	C_terminal
K087H001 Acetyl F L V G M P P F	NH2
K087H101 Myristyl -G F L V G M P P	NH2
K087H102 Myristyl -G F L V G M P	NH2

Figure 6B

Sequence

IKK IKK-1	K087H103	Myristyl -G	F L V G M P P F E	NH2
	<b>Peptide N_terminal</b>			<b>C_terminal</b>
IKK-2	K090H101	Myristyl -G	I A G Y R P F L	NH2
	<b>Peptide N_terminal</b>			<b>C_terminal</b>
ILK ILK	K091H001	Acetyl	I T G F R P F L	NH2
	K091H101	Myristyl -G	I T G F R P F L	NH2
MARK/p78 MARK1	<b>Peptide N_terminal</b>			<b>C_terminal</b>
	K107H001	Acetyl	L V T R E V	NH2
PKC PKCb	K107H101	Myristyl -G	L V T R E V P F	NH2
	K107H102	Myristyl -G	L V T R E V	NH2
	K107H901	Stearyl - G	L V T R E V P F	NH2
	<b>Peptide N_terminal</b>			<b>C_terminal</b>
	K045H101	Myristyl -G	L V S G S	NH2
	K045H102	Myristyl -G	L V S G S L P	NH2
	<b>Peptide N_terminal</b>			<b>C_terminal</b>
	K008H001	Acetyl	M L A G Q A P F	NH2
	K008H101	Myristyl -G	M L A G Q A P	NH2
	K008H102	Myristyl -G	M L A G Q A	NH2
	K008H103	Myristyl -G	M L A G Q A P F E	NH2
	<b>Peptide N_terminal</b>			<b>C_terminal</b>

Figure 6C



POLO  
Plk

Peptide N_terminal			C_terminal
K035H001	Acetyl	L L V G K P P F	NH2
K035H101	Myristyl -G	L L V G K P P	NH2

SNK

Peptide N_terminal			C_terminal
K038H101	Myristyl -G	M L L G R P P F E!	NH2
K038H102	Myristyl -G	M L L G R P P	NH2

RAF  
Braf

Peptide N_terminal			C_terminal
K003H103	Myristyl -G	L M T G Q L	NH2
K003H104	Myristyl -G	L M T G Q L P Y S	NH2

c-Raf

Peptide N_terminal			C_terminal
K001H102	Myristyl -G	L M T G E L	NH2
K001H103	Myristyl -G	L M T G E L P Y S	NH2

Figure 6D